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Welcome to STN International! Enter x:X

LOGINID: SSPTAJMN1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	APR	04	STN AnaVist, Version 1, to be discontinued
NEWS	3	APR	15	WPIDS, WPINDEX, and WPIX enhanced with new
				predefined hit display formats
NEWS	4	APR		EMBASE Controlled Term thesaurus enhanced
NEWS	5	APR	28	IMSRESEARCH reloaded with enhancements
NEWS	6	MAY	30	INPAFAMDB now available on STN for patent family
				searching
NEWS	7	MAY	30	DGENE, PCTGEN, and USGENE enhanced with new homology
				sequence search option
NEWS	8	JUN		EPFULL enhanced with 260,000 English abstracts
NEWS	9	JUN		KOREAPAT updated with 41,000 documents
NEWS	10	JUN	13	USPATFULL and USPAT2 updated with 11-character
				patent numbers for U.S. applications
NEWS	11	JUN	19	CAS REGISTRY includes selected substances from
				web-based collections
NEWS	12	JUN	25	CA/CAplus and USPAT databases updated with IPC
				reclassification data
NEWS	13	JUN	30	AEROSPACE enhanced with more than 1 million U.S.
				patent records
NEWS	14	JUN	30	EMBASE, EMBAL, and LEMBASE updated with additional
				options to display authors and affiliated
117770	1.5	7773	20	organizations
NEWS	15	JUN	30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	2.0	JUN	20	STN AnaVist enhanced with database content from EPFULL
NEWS		JUL		CA/CAplus patent coverage enhanced
NEWS		JUL		EPFULL enhanced with additional legal status
MEMS	1.0	JOL	28	information from the epoline Register
NEWS	10	JUL	20	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS		JUL		STN Viewer performance improved
NEWS		AUG		INPADOCDB and INPAFAMDB coverage enhanced
NEWS		AUG		CA/CAplus enhanced with printed Chemical Abstracts
HEND	22	1100	10	page images from 1967-1998
NEWS	23	AUG	1.5	CAOLD to be discontinued on December 31, 2008
NEWS		AUG		CAplus currency for Korean patents enhanced
NEWS		AUG		CA/CAplus, CASREACT, and IFI and USPAT databases
				enhanced for more flexible patent number searching
NEWS	26	AUG	27	CAS definition of basic patents expanded to ensure
				comprehensive access to substance and sequence
				information

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 15:16:16 ON 04 SEP 2008

=> FIL REG

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.21 0.21

FILE 'REGISTRY' ENTERED AT 15:16:43 ON 04 SEP 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 3 SEP 2008 HIGHEST RN 1046204-20-9 DICTIONARY FILE UPDATES: 3 SEP 2008 HIGHEST RN 1046204-20-9

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

= >

[USER ABORT]
C:\Program Files\STNEXP\Queries\10523422\1.str

0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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=> D

ENTER NAME OF ITEM TO BE DISPLAYED OR (?):EN 'EN' IS NOT VALID HERE

For an explanation, enter "HELP DISPLAY".

=> END

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:N

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Uploading C:\Program Files\STNEXP\Oueries\10523422\1.str

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ring nodes:
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21
chain bonds:
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ring bonds:
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G1:H,Ak

G2:C, N

G3:0.S.N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> D L1

L1 HAS NO ANSWERS

STR

G1 H.Ak

G2 C, N

G3 O.S.N

Structure attributes must be viewed using STN Express query preparation.

=> S L1

SAMPLE SEARCH INITIATED 15:17:39 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2221 TO ITERATE

90.0% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) 8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** PROJECTED ITERATIONS: PROJECTED ANSWERS:

BATCH **COMPLETE** 41593 TO 47247 8 TO 355

8 SEA SSS SAM L1

=> S L1 FULL

FULL SEARCH INITIATED 15:17:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 43593 TO ITERATE

173 ANSWERS

100.0% PROCESSED 43593 ITERATIONS SEARCH TIME: 00.00.01

L3 173 SEA SSS FUL L1

=> FIL CAPLUS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 178.82 179.03

FILE 'CAPLUS' ENTERED AT 15:17:51 ON 04 SEP 2008

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FILE COVERS 1907 - 4 Sep 2008 VOL 149 ISS 10
FILE LAST UPDATED: 3 Sep 2008 (20080903/ED)
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> S L3 T. 4 9 L3

=> D IBIB ABS HITSTR L4 TOT

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1064465 CAPLUS

DOCUMENT NUMBER: 147:385970

TITLE: Novel heterocyclic NF-kB inhibitors and their

preparation, pharmaceutical compositions and use in

the treatment of diseases

INVENTOR(S): Leban, Johann; Schmitt, Harald; Wolf, Kristina;

Pegoraro, Stefano; Wuzik, Andreas; Krauss, Rolf

PATENT ASSIGNEE(S): 4SC A.-G., Germany

SOURCE: PCT Int. Appl., 110pp.

CODEN: PIXXD2

Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.					KIN	D	DATE		APPLICATION NO.						DATE			
WO	WO 2007104557						A2 20070920 A3 20080522			WO 2	007-	EP22	65		20070314			
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PRIORITY APPLN. INFO.:
                                          US 2006-375259
                                                             A 200 0315
                                          WO 2006-EP2396
                                                            A 200 0315
                                          US 2004-612794P
                                                            P 20040927
                                          US 2005-192009
                                                            A2 200$0729
                                          WO 2005-EP8261
                                                            A 20050729
OTHER SOURCE(S): MARPAT 147:385970
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to compds. of the general formula I or pharmaceutically acceptable salts thereof with an acid or a base, or pharmaceutically acceptable prodrugs or a stereoisomer thereof. Compds. of formula I wherein A is NH and derivs., S or O; R3a is H, OH, SH, NH2, -C(NI)NH2 and derivs., C(R2)1-6 aryl, -(CR2)1-6H2 and derivs., -C(O)NH2 and derivs., alkyl, cycloalkyl, hydroxyalkyl, haloalkyl, haloalkyl, haloalkyl, haloalkyl, haloalkyl, haloalkyl, (hetero)aryl, etc.; R3 is H, CONH2 and derivs., halo, alkyl, haloalkyl, (hetero)aryl, OH and derivs., SH, NN and derivs., NH2, hydroxyalkylamino, alkylamino, alkym, cycloalkyl, etc.; X is NH and derivs., O, or S; Z is N or CH, alkyl, C-CONH and derivs., etc.; t is 0 to 4; r is 0 or 1; Rd is H, halo, CNN) NH2 and derivs., (CR2)1-6 aryl, (CH2)1-6 amino, etc.; Rl is acyl, CHO, CONH2 and derivs., CO2H and derivs., thioacyl, etc.; R2 is H, alkyl, (hetero)cycloalkyl, haloalkyl, hydroxyalkyl, etc.; R2 is H, olkyl, NH2, alkyl, cycloalkyl, haloalkyl,

hydroxyalkyl, etc.; and their pharmaceutically acceptable salts with acids or bases, prodrugs and stereoisomers thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their NF-kB inhibitory activity (no data).

IT 913822-38-5P 913822-40-9P 913822-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic NF-KB inhibitors useful in treatment and prevention of diseases associated with abnormal and hyperproliferation of cells)

RN 913822-38-5 CAPLUS

CN 4-Thiazolecarboxamide, 2-(4-morpholinyl)-N-[4-[5-(trifluoromethyl)-2-benzothiazolyl]phenyl]- (CA INDEX NAME)

RN 913822-40-9 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazoly1)-2-fluoropheny1]-2-(4-morpholiny1)- (CA INDEX NAME)

RN 913822-41-0 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazoly1)-2-(trifluoromethoxy)pheny1]-2-(4-morpholiny1)- (CA INDEX NAME)

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:1150357 CAPLUS

DOCUMENT NUMBER: 145:471514 TITLE: Novel 2-(piperidin-4-vl)thiazole derivatives as NF-κB inhibitors and their preparation. pharmaceutical compositions, and use in the treatment of various diseases INVENTOR(S): Leban, Johann; Schmitt, Harald; Wolf, Kristina; Pegoraro, Stefano; Wuzik, Andreas PATENT ASSIGNEE(S): 4 Sc AG, Germany SOURCE: U.S. Pat. Appl. Publ., 52pp., Cont.-in-part of U.S. Ser. No. 192,009. CODEN: USXXCO DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 4 PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE 20061102 US 2006-375259 20060330 US 2005-192009 20060315 US 20060247253 A1 US 20060069102 A1 20050729 WO 2007104557 A2 20070920 WO 2007-EP2265 20070314 20080522 WO 2007104557 A3 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, EB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, MM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MM, MG, MK, KN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, RU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA US 2004-612794P PRIORITY APPLN. INFO.: P 20040927 US 2005-192009 A2 20\$50729 US 2006-375259 A 20\$60315 WO 2006-EP2396 A 20060315 OTHER SOURCE(S): MARPAT 145:471514 GI

ΙI

$$\mathbb{R}^{1}-\left[\mathbb{O}\right]_{m}-\left[\mathbb{X}\right]_{n}-\mathbb{N}$$

AB The invention relates to compds, of the general formula I or pharmaceutically acceptable salts thereof with an acid or a base, or pharmaceutically acceptable prodrugs or a stereoisomer thereof. Compds. of formula I wherein R1 is H, alkyl, cycloalkyl, hydroxyalkyl, haloalkyl(oxy), (un)substituted (hetero)aryl, and (un)substituted arylalkyl; R2 is NR3R4, (un) substituted piperidine, and (un) substituted piperazine; R3 is alkyl, cycloalkyl, alkoxy, alkylamino, OH, SH, alkylthio, hydroxyalkyl, haloalkyl(oxy) and (hetero)aryl; R4 is alkyl, cycloalkyl, alkoxy, alkylamino, alkylthio, hydroxyalkyl, haloalkyl(oxy) and (hetero)aryl; m and n are independently 0 and 1; X is CO and SO2; and their salts and physiol, functionalized derivs, thereof are claimed. Example compound II was prepared by a multistep procedure (general procedure given). All the invention compds. were evaluated for their NF-κB inhibitory activity. From the assay, it was determined that compound II exhibited 90-100 % inhibition.

[913822-38-59 913822-40-9P 913822-41-0P RL: PRG (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; preparation of piperidinylthiazole derivs. as NF-κB inhibitors and their use in the treatment of various diseases) 913822-38-5 CAPLUS

CN 4-Thiazolecarboxamide, 2-(4-morpholinyl)-N-[4-[5-(trifluoromethyl)-2-benzothiazolyl]phenyl]- (CA INDEX NAME)

RN 913822-40-9 CAPLUS

RN

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazoly1)-2-fluoropheny1]-2-(4-

morpholiny1) - (CA INDEX NAME)

RN 913822-41-0 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(2-benzothiazoly1)-2-(trifluoromethoxy)pheny1]-2-(4-morpholinyl)- (CA INDEX NAME)

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

2006:710810 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 145:159773

TITLE: Benzimidazole derivative transcription

factor-modulating compounds for use as antiinfective

agents INVENTOR(S): Alekshun, Michael N.; Amoo, Victor; Kim, Oak K.;

Verma, Atul K.

PATENT ASSIGNEE(S): Paratek Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 405 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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09/04/2008
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                                                              P 20041028
                                           WO 2005-US14345
                                                              W 20040425
OTHER SOURCE(S):
                       MARPAT 145:159773
AB The invention provides substituted benzimidazole compds. useful as
    antiinfectives that decrease resistance, virulence, or growth of dicrobes.
    Also provided are methods for making and using the substituted
    benzimidazole compds., as well as pharmaceutical prepns. for e.g. reducing
    antibiotic resistance and inhibiting biofilms.
    900142-12-3
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
    (Biological study); USES (Uses)
       (benzimidazole derivative transcription factor-modulating compds. for use
       as antiinfective agents)
    900142-12-3 CAPLUS
RN
CN
    3-Pyridinecarboxamide, N-[4-(1-hydroxy-6-nitro-1H-benzimidazol-2-
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L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

yl)phenyl]-6-(4-morpholinyl)- (CA INDEX NAME)

ACCESSION NUMBER: 2005:300252 CAPLUS

DOCUMENT NUMBER: 142:373830

TITLE: Preparation of benzimidazoles and imidazopyridines as

heparanase inhibitors INVENTOR(S): Liu, Hu; Miao, Hua-guan

PATENT ASSIGNEE(S): Imclone Systems, Inc., USA PCT Int. Appl., 75 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2005030206
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        RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
PRIORITY APPLN. INFO.:
                                           US 2003-505136P
                                                              P 20030924
OTHER SOURCE(S):
                       CASREACT 142:373830; MARPAT 142:373830
```

$$(R^1)_{\mathfrak{m}} \xrightarrow[H]{\mathbf{Z}} \overset{\mathbb{Z}}{\underset{H}{\mathbb{Z}}} \overset{\mathbb{Z}}{\underset{(CH_2)_{\mathfrak{n}}}{\mathbb{Z}}} (R^3)_{\mathfrak{p}}$$

GΙ

AΒ Title compds. I [wherein Z = N or CH (at least one Z is CH); m, n, p = 0-4; R1, R3 = halo, nitro, amino, cyano, hydroxy, (un) substituted alk(en/yn)1, alkoxy, (hetero)aryl or -NHC(0)-aryl; R2 = H, (un)substituted carbonyl or sulfonyl], which are inhibitors of heparanases and are useful in inhibiting the release of bioactive agents from heparan sulfate proteoglycans, were prepared For example, cyclocondensation of 1,2-phenylenediamine with 3-aminobenzoic acid in the presence of polyphosphoric acid (52% yield) followed by acylation with 3-bromo-4-methoxybenzoyl chloride, which was obtained by chlorination of the corresponding acid with oxalyl chloride, gave amide II (8% yield). Most I showed 29-109% inhibition at the concentration of 25 µM (65% inhibition for II) in the heparanase activity assays. 849509-40-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

INSTANT APPLICATION

(inhibitor; preparation of benzimidazoles and imidazopyridines as heparanase inhibitors)

RN 849509-40-6 CAPLUS

CN 4-Thiazolecarboxamide, N-[4-(1H-benzimidazol-2-y1)pheny1]-2-[(3-bromo-4ethoxybenzoy1)amino]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMA

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:120723 CAPLUS

DOCUMENT NUMBER: 140:163697

TITLE: Preparation of biaryl amides with antimicrobial

activity
INVENTOR(S): Burli, Roland W.; Baird, Eldon E.; Kaizerman, Jacob

A.; McMinn, Dustin L.

PATENT ASSIGNEE(S): Genesoft Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 51 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA:	PATENT NO.					D	DATE			APPL			DATE				
WO	WO 2004012736				A1	A1 20040212								20030801			
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC.	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,
		TR.	TT.	TZ,	UA.	UG,	US,	UZ,	VC,	VN.	YU,	ZA.	ZM.	ZW			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI.	FR.	GB,	GR.	HU,	IE.	IT.	LU.	MC.	NL.	PT.	RO.	SE.	SI.	SK.	TR.
		BF.	BJ.	CF.	CG.	CI,	CM,	GA.	GN.	GO,	GW.	ML.	MR.	NE.	SN.	TD.	TG
CA	2494						2004										
AU	2003	2580	22		A1		2004	0223		AU 2	003-	2580	22		2	0030	301
EP	1539	151			A1		2005	0615		EP 2	003-	7671	25		2	0030	301
	R:	AT.	BE.	CH.	DE.	DK.	ES,	FR.	GB.	GR.	IT.	LI.	LU.	NL.	SE.	MC.	PT.
							RO,										
US	2006									US 2							006
	RIORITY APPLN. INFO.:													P 20020802			

WO 2003-US24294

W 20030801

OTHER SOURCE(S): MARPAT 140:163697

AB The title compds. I [Z = N or (substituted) carbon, with the proviso that no more than 2 Zs in any aromatic ring are N; Y = O, N, or S; Q = N or (substituted) carbon, with the proviso that Q = (substituted) carbon when Y = N; Ar = (substituted) (hetero) aromatic 5- or 6-membered ring; R1 = H, (hetero)alkyl or the two R1 form a (substituted)hetero 5-7 membered ring; R2 = H or alkyl] were prepared as antimicrobial agents. Thus, reaction of N-[4-(2-benzofuranyl)phenyl]-4,5-dichloro-isothiazole-3-carboxamide (preparation given) with 1-piperazineethanamine gave compound II. The latter inhibits Bacillus cereus, Enterococcus faecalis, and Streptococcus aureus with MICs ≤ 4 µg/mL in vitro.

II

654056-02-7P 654056-03-8P 654056-04-9P 654056-05-0P 654056-06-1P 654056-07-2P 654056-08-3P 654056-09-4P 654056-10-7P 654056-11-8P 654056-12-9P 654056-13-0P 654056-14-1P 654056-15-2P 654056-16-3P 654056-17-4P 654056-18-5P 654056-19-6P 654056-20-9P 654056-21-0P 654056-22-1P 654056-23-2P 654056-28-7P 654056-29-8P 654056-30-1P 654056-31-2P 654056-32-3P 654056-33-4P 654056-34-5P 654056-35-6P 654056-36-7P 654056-37-8P 654056-38-9P 654056-39-0P 654056-40-3P 654056-41-4P 654056-47-0P 654056-48-1P 654056-49-2P 654056-50-5P 654056-51-6P 654056-52-7P 654056-53-8P 654056-54-9P 654056-55-0P 654056-56-1P 654056-57-2P 654056-58-3P 654056-59-4P 654056-60-7P 654056-61-8P 654056-62-9P 654056-63-0P 654056-64-1P 654056-65-2P 654056-66-3P 654056-67-4P

654056-68-9F 654056-69-6P 654056-70-9P 654056-71-0P 654056-72-1P 654056-72-1P 654056-72-1P 654056-72-1P 654056-75-4P 654056-75-4P 654056-76-5P 654056-77-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of biaryl amides with antimicrobial activity) 654056-02-7 CAPLUS

RN 654056-02-7 CAPLUS
CN 3-Isothiazolecarboxamide, N-[4-(2-benzofuranyl)phenyl]-4-chloro-5-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-03-8 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(3-aminopropyl)amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

RN 654056-04-9 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(2-aminoethyl)amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

- RN 654056-05-0 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(4-aminobuty1)amino]-N-[4-(2-benzofurany1)pheny1]-4-chloro- (CA INDEX NAME)

- RN 654056-06-1 CAPLUS
- CN 3-Isothiazolecarboxamide, N-[4-(2-benzofurany1)pheny1]-4-chloro-5-[[3-(diethylamino)propy1]amino]- (CA INDEX NAME)

- RN 654056-07-2 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[[2-[2-(2-aminoethoxy)ethoxy]ethyl]amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- CH2- CH2- NH2

RN 654056-08-3 CAPLUS

CN 3-Isothiazolecarboxamide, N-[4-(2-benzofurany1)pheny1]-4-chloro-5-[[3-(dimethylamino)propy1]amino]- (CA INDEX NAME)

RN 654056-09-4 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[[3-[(3-aminopropyl)methylamino]propyl]amino]-N-[4-(2-benzofuranyl)phenyl]-4-chloro- (CA INDEX NAME)

RN 654056-10-7 CAPLUS

CN 3-Pyridinecarboxamide, 2-[(3-aminopropyl)amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

RN 654056-11-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-12-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)pheny1]-2-[[3-(dimethylamino)propy1]amino]- (CA INDEX NAME)

RN 654056-13-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)pheny1]-2-[[3-(cyclohexylamino)propy1]amino]- (CA INDEX NAME)

- RN 654056-14-1 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)pheny1]-2-[[2-(1-piperidiny1)ethy1]amino]- (CA INDEX NAME)

- RN 654056-15-2 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(4-thiomorpholinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-16-3 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-17-4 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)phenyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

- RN 654056-18-5 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[4-(2-aminoethyl)-1-piperazinyl]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

- RN 654056-19-6 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(4-aminobutyl)amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

- RN 654056-20-9 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(2-aminoethyl)amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

- RN 654056-21-0 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)pheny1]-2-(1-piperaziny1)-(CA INDEX NAME)

- RN 654056-22-1 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)pheny1]-2-[[2(diethylamino)ethyl]amino]- (CA INDEX NAME)

- RN 654056-23-2 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[[2-[2-(2-aminoethoxy)ethoxy]ethyl]amino]-N-[4-(2-benzofuranyl)phenyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- cн₂- NH₂
- RN 654056-28-7 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)-3-methylpheny1]-2-[[2-(1-piperidiny1)ethyl]amino]- (CA INDEX NAME)

- RN 654056-29-8 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofuranyl)-3-methylphenyl]-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-30-1 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(4-aminobuty1)amino]-N-[4-(2-benzofurany1)-3-methylpheny1]- (CA INDEX NAME)

$$\begin{array}{c|c} \text{NH} & \text{(CH}_2) \text{ 4-NH}_2 \\ \text{NH-C} & \text{N} \\ \end{array}$$

- RN 654056-31-2 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(2-benzofurany1)-3-methylpheny1]-2-[[3-(dimethylamino)propy1]amino]- (CA INDEX NAME)

- RN 654056-32-3 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[[3-[(3-aminopropy1)methylamino]propy1]amino]-N-[4-(2-benzofurany1)-3-methylpheny1]- (CA INDEX NAME)

RN 654056-33-4 CAPLUS

CN 3-Isothiazolecarboxamide, N-[4-(2-benzofurany1)-3-methylpheny1]-4-chloro-5-[[2-(1-piperidiny1)ethyl]amino]- (CA INDEX NAME)

RN 654056-34-5 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(4-aminobutyl)amino]-N-[4-(2-benzofuranyl)-3-methylphenyl]-4-chloro- (CA INDEX NAME)

RN 654056-35-6 CAPLUS

CN 3-Isothiazolecarboxamide, 5-[(3-aminopropy1)amino]-N-[4-(2-benzofurany1)-3-methylpheny1]-4-chloro- (CA INDEX NAME)

- RN 654056-36-7 CAPLUS
- CN 3-Isothiazolecarboxamide, N-[6-(2-benzofurany1)-3-pyridiny1]-4-chloro-5-[[2-(1-piperaziny1)ethy1]amino]- (CA INDEX NAME)

- RN 654056-37-8 CAPLUS
- CN 3-Isothiazolecarboxamide, N-[6-(2-benzofuranyl)-3-pyridinyl]-4-chloro-5-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

- RN 654056-38-9 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(4-aminobuty1)amino]-N-[6-(2-benzofurany1)-3-pyridiny1]-4-chloro- (CA INDEX NAME)

- RN 654056-39-0 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(2-aminoethy1)amino]-N-[6-(2-benzofurany1)-3-pyridiny1]-4-chloro- (CA INDEX NAME)

- RN 654056-40-3 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[[3-[(3-aminopropy1)methylamino]propy1]amino]-N[6-(2-benzofurany1)-3-pyridiny1]- (CA INDEX NAME)

- RN 654056-41-4 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(2-aminoethyl)amino]-N-[6-(2-benzofuranyl)-3-pyridinyl]- (CA INDEX NAME)

- RN 654056-47-0 CAPLUS
- CN 3-Isothiazolecarboxamide, N-(4-benzo[b]thien-2-ylphenyl)-4-chloro-5-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-48-1 CAPLUS

CN 3-Isothiazolecarboxamide, N-(4-benzo[b]thien-2-ylpheny1)-4-chloro-5-[[3-(dimethylamino)propy1]amino]- (CA INDEX NAME)

RN 654056-49-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-benzo[b]thien-2-ylpheny1)-2-[[2-(1-piperaziny1)ethy1]amino]- (CA INDEX NAME)

RN 654056-50-5 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-benzo[b]thien-2-ylpheny1)-2-[[2-(1-pyrrolidiny1)ethy1]amino]- (CA INDEX NAME)

- RN 654056-51-6 CAPLUS
- CN 3-Pyridinecarboxamide, N-(4-benzo[b]thien-2-ylpheny1)-2-[[3-(dimethylamino)propy1]amino]- (CA INDEX NAME)

- RN 654056-52-7 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[[3-[(3-aminopropy1)methylamino]propy1]amino]-4-chloro-N-[4-(6-methyl-2-benzothiazoly1)pheny1]- (CA INDEX NAME)

- RN 654056-53-8 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(2-aminoethy1)amino]-4-chloro-N-[4-(6-methy1-2-benzothiazoly1)pheny1]- (CA INDEX NAME)

- RN 654056-54-9 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(3-aminopropy1)amino]-4-chloro-N-[4-(6-methyl-2-benzothiazoly1)pheny1]- (CA INDEX NAME)

- RN 654056-55-0 CAPLUS
- CN 3-Isothiazolecarboxamide, 4-chloro-5-[[3-(dimethylamino)propyl]amino]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (CA INDEX NAME)

- RN 654056-56-1 CAPLUS
- CN 3-Isothiazolecarboxamide, 4-chloro-5-[[3-(dimethylamino)propyl]amino]-N-[4-(5-methylfuro[3,2-b]pyridin-2-yl)phenyl]- (CA INDEX NAME)

- RN 654056-57-2 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(2-aminoethyl)amino]-4-chloro-N-[4-(1H-indol-2-yl)phenyl]- (CA INDEX NAME)

RN 654056-58-3 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-5-[[3-(dimethylamino)propyl]amino]-N-[4-(1H-indo1-2-yl)phenyl]- (CA INDEX NAME)

RN 654056-59-4 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-yl)phenyl]-5-[[2-(1-pyrrolidinyl)ethyl]amino]- (CA INDEX NAME)

RN 654056-60-7 CAPLUS

CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-yl)phenyl]-5-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-61-8 CAPLUS
- CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-y1)pheny1]-5-[[2-(4-morpholiny1)ethy1]amino]- (CA INDEX NAME)

- RN 654056-62-9 CAPLUS
- CN 3-Isothiazolecarboxamide, 4-chloro-N-[4-(1H-indol-2-y1)phenyl]-5-[[2-(4-methyl-1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-63-0 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(2-aminoethyl)amino]-N-[4-(1H-indol-2-yl)phenyl]-(CA INDEX NAME)

- RN 654056-64-1 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[[3-(dimethylamino)propyl]amino]-N-[4-(1H-indol-2-yl)phenyl]- (CA INDEX NAME)

- RN 654056-65-2 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(1H-indol-2-y1)phenyl]-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-66-3 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(1H-indo1-2-y1)pheny1]-2-[[2-(4-methy1-1-piperaziny1)ethy1]amino]- (CA INDEX NAME)

- RN 654056-67-4 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-(1H-indol-2-y1)phenyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-68-5 CAPLUS
- CN 3-Isothiazolecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro-5-[[3-(dimethylamino)propyl]amino]- (CA INDEX NAME)

- RN 654056-69-6 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[(4-aminobuty1)amino]-N-(6-benzo[b]thien-2-yl-3-pyridiny1)-4-chloro- (CA INDEX NAME)

- RN 654056-70-9 CAPLUS
- CN 3-Isothiazolecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro-5-[[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-71-0 CAPLUS
- CN 3-Isothiazolecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro-5-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-72-1 CAPLUS
- CN 3-Isothiazolecarboxamide, 5-[[3-[(3-aminopropyl)methylamino]propyl]amino]-N-(6-benzo[b]thien-2-yl-3-pyridinyl)-4-chloro- (CA INDEX NAME)

- RN 654056-73-2 CAPLUS
- CN 3-Pyridinecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-2-[[2-(1-piperidinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-74-3 CAPLUS
- CN 3-Pyridinecarboxamide, N-(6-benzo[b]thien-2-yl-3-pyridinyl)-2-[[2-(1-piperazinyl)ethyl]amino]- (CA INDEX NAME)

- RN 654056-75-4 CAPLUS
- CN 3-Pyridinecarboxamide, N-(6-benzo[b]thien-2-y1-3-pyridiny1)-2-[[3-(dimethylamino)propy1]amino]- (CA INDEX NAME)

- RN 654056-76-5 CAPLUS
- CN 3-Pyridinecarboxamide, 2-[(4-aminobuty1)amino]-N-(6-benzo[b]thien-2-y1-3-

pyridinyl) - (CA INDEX NAME)

RN 654056-77-6 CAPLUS

CN 3-Pyridinecarboxamide, 2-[[3-[(3-aminopropy1)methylamino]propy1]amino]-N-(6-benzo[b]thien-2-y1-3-pyridiny1)- (CA INDEX NAME)

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2003:356439 CAPLUS

DOCUMENT NUMBER:

138:368779 Preparation of isoquinolines as 5-HT antagonists for

INVENTOR(S):

TITLE:

treatment of psychiatric disorders Angst, Christof; Haeberlein, Markus; Hill, Daniel;

Jacobs, Robert; Moore, Gary; Pierson, Edward; Shenvi, Ashokkumar Bhikkappa

Astrazeneca AB, Swed.

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 139 pp. CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PZ	PATENT NO.					KIND DAT			ATE APPLICATION NO.					DATE DATE					
						_													
WC	WO 2003037887				A1		20030508			WO 2	002-	SE19	88	(20021101)					
	W:	AE	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	-CA,	CH,	CN		
		CO	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
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		UA	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW								
	RW	: GH	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FI	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ВJ,	CF,		
		CG.	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG					
CF	A 246	4342			A1		2003	0508		CA 2	002-	2464	342		2	0021	101		
Αl	J 200	2343	313		A1		2003	0512		AU 2	002-	3433	13		2	0021	101		
EE	2 145	1172			A1		2004	0901		EP 2	002-	7802	44		2	0021	101		

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		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
BR	2002	0137	78		A		2004	1109	1	3R 2	002-	1377:	8		2	0021	101
CN	1608	061			A		2005	0420		CN 2	002-	8262	81		2	0021	101
JP	2005	5168	96		T		2005	0609		JP 2	003-	5401	68		2	0021	101
HU	2005	0010	89		A2		2007	0928	1	HU 2	005-	1089			2	0021	101
IN	2004	DN01	022		A		2007	0302		IN 2	004-	DN10:	22		2	0040	419
MX	2004	PA04	076		A		2004	0723	1	MX 2	004-1	PA40	76		2	0040	429
ZA	2004	0032	40		A		2005	0407		ZA 2	004-	3240			2	0040	429
US	2007	0010	526		A1		2007	0111	1	JS 2	004-	4944	24		2	0040	430
NO	2004	0021	54		A		2004	0729	1	10 2	004-	2154			_2	0.040	5.2.5
PRIORITY	APP	LN.	INFO	. :						SE 2	001-	3644		1	2	0011	101
									1	10 2	002-	SE19:	88	(W	2	0021	101)
OTHER SO	URCE	(S):			MARI	PAT	138:	3687	79					_	*****	~~~~	
GI																	

AB Title compds. I [wherein W = CO, CONRa, NRaCO, CO(CH2)nNRaCO, CSNRa, COCH2O, SO2NRa, NRaSO2, CH2NRa, COCH2, CH2CO, or 5-membered heterocyclyl; X = (un)substituted arvl or heterocyclyl; Y = bond, CH2, O, S, SO, CO, SO2, NRb, or NRbSO2; Z = Rb, CO2Ra, CON(Ra)2, NHRb, alky1-N(Ra)2, SO2Rc, or (un) substituted aryl(alkyl) or heterocyclyl; R1 = halo, alkyl, ORa, SOpRa, N(Ra)2, or CN; R2 = aryl or heterocyclyl(carbonyl); Ra = H or (un) substituted alkyl; Rb = H, alkyl(sulfanyl), alkanoyl, aryl(alkyl), or arylalkoxyalkyl; Rc = alkyl, aryl, or heterocyclyl; m = 0 or 1; n = 0-4; p = 0-2;] were prepared as 5-HT1B and 5-HT1D antagonists (no data). For example, O-methylation of 5-hydroxyisoquinoline using NaOBu-t and PhMe3NCl in DMF (85%), followed by bromination with bromine in AcOH gave 5-methoxy-8-bromoisoquinoline (47%). Substitution with N-methylpiperazine using NaOBu-t, BINAP, and tris(dibenzylideneacetone)dipalladium in PhMe and subsequent reduction with NaCNBH3 and BF3 . Et20 in MeOH gave 5-methoxy-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydroisoquinoline. Coupling of 4-(bromomethyl)phenylacetic acid with morpholine in the

ΙI

presence of K2CO3 in MeCN provided 4-(morpholinomethyl)phenylacetic acid. Amidation of the tetrahydroisoquinoline with the phenylacetic acid in DMF afforded II. I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

IT 521315-36-6F, 5-Methoxy-8-(4-methylpiperazin-1-yl)-3,4-dihydro-IHisoquinoline-2-carboxylic acid [4-(6-methylbenzothiazol-2-yl)phenyl]amide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(5-HT antagonist; preparation of isoquinolines as 5-HT1B and 5-HT1D antagonists for treatment of psychiatric disorders)

RN 521315-36-6 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, 3,4-dihydro-5-methoxy-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-8-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:275753 CAPLUS

DOCUMENT NUMBER: 136:309843

TITLE: Preparation of thiophenes as phosphate transport inhibitors

INVENTOR(S): Weinstock, Joseph; Franz, Robert G.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002028353 A2 20020411 WO 2001-US31318 20011005 WO 2002028353 А3 20020711 W: AE, AG, AL, AM, AU, AZ, ÁA, BB, BG, BR, BY, BZ, CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
            US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
    AU 2002013048
                         A5
                               20020415
                                          AU 2002-13048
                                                                  20011005
PRIORITY APPLN. INFO.:
                                           US 2000-238068P
                                                               P 20001005
                                           WO 2001-US31318
                                                              W 20011005
OTHER SOURCE(S):
                       MARPAT 136:309843
GT
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- AB The title compds. [I-III; X = S, O; Rl = H, alkyl, aryl, etc.; R2, R3 = alkyl, haloalkyl, alky; interrupted by one or more O or S atoms, etc.; n = 0-3], useful for treatment of chronic renal failure and uremic bone disease, were prepared E.g., a 4-step synthesis of I [X = S; Rl = H; R2 = 4-FC6H4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was presented. Biol. data were given.
- IT 409362-41-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiophenes as phosphate transport inhibitors)

RN 409362-41-0 CAPLUS CN 2-Thiophenecarboxam

2-Thiophenecarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3[(phenylsulfonyl)amino]- (CA INDEX NAME)

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 1989:496928 CAPLUS

DOCUMENT NUMBER: 111:96928

ORIGINAL REFERENCE NO.: 111:16296h, 16297a

TITLE: Synthesis of actinomycin analogs. XVII. Actinomycin

amides containing a benzimidazole fragment

AUTHOR(S): Sklyarova, I. V.; Kuznetsov, V. A.; Garabadzhiu, A. V.; Glibin, B. N.; Ginzburg, O. F.

CORPORATE SOURCE: Leningr. Tekhnol. Inst., Leningrad, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1989), 25(1), 186-9
CODEN: ZORKAE: ISSN: 0514-7492

DOCUMENT TYPE: Journal
LANGUAGE: Bussian

OTHER SOURCE(S): CASREACT 111:96928

GI

AB Interaction of 4,3,2-R(PhCH2O) (O2N)C6H4CCCl (R = H, Me) with benzimidazole derivs. RlH (Rl = R2, R3) gave the resp. acylamino derivs., which were cyclized to phenoxazinones I (R = H, Me, Rl = R2; R = Me, Rl = R3) via hydrogenation and oxidation I were used in the preparation of polyfunctional DNA.

in which actinocin, the chromophore of actinomycin, combines with

benzimidazole-cintq. groups.

122183-12-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 122183-12-4 CAPLUS

CN 3H-Phenoxazine-1,9-dicarboxamide, 2-amino-N,N'-bis[4-[5-[[[3-(dimethylamino)propyllamino|carbonyll-1H-benzimidazol-2-yllphenyll-4,6dimethyl-3-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- NMe 2

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:524074 CAPLUS

DOCUMENT NUMBER: 99:124074 ORIGINAL REFERENCE NO.: 99:19117a,19120a

TITLE: Azo dves and their metal complexes

INVENTOR(S): Puentener, Alois

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz. Eur. Pat. Appl., 35 pp. SOURCE: CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

EP	7985	8			A1		19830525	EP	1982-810480		19821110	
EP	7985	8			В1		19851227					
	R:	CH,	DE,	FR,	GB,	LI						
US	4625	017			A		19861125	US	1982-441125		19821112	
JP	5808	9657			A		19830528	JP	1982-199835		19821116	
JP	5904	5699			В		19841108					
PRIORITY	APP:	LN.	INFO	. :				CH	1981-7353	A	19811116	
OTHER SO	DURCE	(S):			MARI	PAT	99:124074					
CT												

- AB Dyes with general structure I are prepared, where R represents the residue of a benzene- or naphthalene-type diazo component with a metalizable OH group ortho to the azo group, R1 = Me, ClCH2, or Cl-4 alkyl-, Cl-4 alkoxy-, or halo-substituted Ph, R2 = H or Cl-4 alkyl-, R3 = H or Me, n = 0 or 1, and m = 0, 1, 2, or 3. Heavy metal complexes (Cu, Co, Cr, etc.) of I are yellow, orange-red to brown or olive dyes, e.g. for cotton, leather, paper, or polyamide. Thus, diazotization of 2, 4-H2N(H2NSO2)C6H3OH [98-32-8] and coupling with 6-methyl-2-[p-(acetoacetylamino)phenyl]penzoth izzole-7-sulfonic acid [9855-96-9] gave II [87074-85-9], which was applied to cotton and treated with CuSO4 to form the 1:1 Cu complex [87067-62-7], a fast yellow dye.
- IT 87134-07-4 RL: USES (Uses)
- (dye, for leather)
- RN 87134-07-4 CAPLUS
- CN Chromate(4-), [3-hydroxy-4-[(2-hydroxy-1-naphthalenyl)azo]-7-nitro-1-naphthalenesulfonato(3-)][2-[4-[[2-[(2-hydroxy-3-nitro-5-sulfophenyl)azo]-1,3-dioxobutyl]amino]phenyl]-6-methyl-7-benzothiazolesulfonato(4-)]-, tetrahydrogen (9CI) (CA INDEX NAME)

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PAGE 3-A

4 H

- IT 87140-42-9P
 RL: IMF (Industrial manufacture); TEM (Technical or engineered material
 use); PREP (Preparation); USES (Uses)
 (manufacture of, as dve for leather)
- RN 87140-42-9 CAPLUS
- CN Chromate(4-), [3-[(4,5-dihydro-3-methyl-5-oxo-1-phenyl-1H-pyrazol-4-yl)azo]-2-hydroxy-5-nitrobenzenesulfonato(3-)][2-[4-[[2-[(2-hydroxy-3-nitro-5-sulfophenyl)azo]-1,3-dioxobutyl]amino]phenyl]-6-methyl-7-benzothiazolesulfonato(4-)]-, tetrasodium (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

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---Logging off of STN---

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL
FULL ESTIMATED COST	50.01	229.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL
CA SUBSCRIBER PRICE	-7.20	-7.20

STN INTERNATIONAL LOGOFF AT 15:18:45 ON 04 SEP 2008